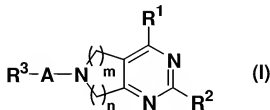


a.) Amendment to the Claims

1. (Currently Amended) A bicyclic pyrimidine derivative represented by following Formula (I):



{ wherein

~~m and n may be the same or different, and each represents an integer of 1 to 3 wherein m + n is 4 or less;~~

m represents 1,

n represents 2,

R<sup>1</sup> represents

-NR<sup>4</sup>R<sup>5</sup> (wherein

~~R<sup>4</sup> and R<sup>5</sup> may be the same or different and each represents~~ independently represent a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted aralkyl, substituted or unsubstituted arylcarbonyl, a substituted or unsubstituted heteroaromatic group, a substituted or unsubstituted heteroalicyclic group, substituted or unsubstituted heteroaromatic-substituted alkyl or substituted or unsubstituted heteroalicyclic-substituted alkyl, or R<sup>4</sup> and R<sup>5</sup> are combined together with the adjacent nitrogen atom to form a substituted or unsubstituted heteroalicyclic group, provided that R<sup>4</sup> and R<sup>5</sup> are not simultaneously hydrogen

atoms, and that when one of R<sup>4</sup> and R<sup>5</sup> is a hydrogen atom, the other of R<sup>4</sup> and R<sup>5</sup> is neither a substituted or unsubstituted pyrazol-3-yl nor a substituted or unsubstituted 1,2,4-triazol-3-yl);

R<sup>2</sup> represents Formula (II):

(i)  $B-(CX_2)_p-R^7$  {wherein

B represents -O-, CH=CH-, C≡C- or phenylene;

p represents an integer of 1 to 4;

Xs may be the same or different respectively, and each represents a hydrogen atom, substituted or unsubstituted lower alkyl or halogen; and

R<sup>7</sup> represents

$-NR^8R^9$  (wherein

R<sup>8</sup> and R<sup>9</sup> may be the same or different and each represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or

unsubstituted cycloalkyl, substituted or unsubstituted lower alkenyl,

substituted or unsubstituted lower alkynyl, substituted or unsubstituted

aralkyl, substituted or unsubstituted aryl, a substituted or unsubstituted

heteroaromatic group, a substituted or unsubstituted heteroalicyclic

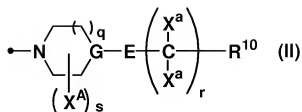
group, substituted or unsubstituted heteroaromatic-substituted alkyl or

substituted or unsubstituted heteroalicyclic-substituted alkyl);

a substituted or unsubstituted heteroaromatic group or

a substituted or unsubstituted heteroalicyclic group};

(ii) Formula (II):



[wherein

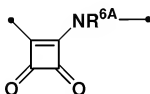
r represents an integer of 0 to 4;

s represents a number ranging from 0 to a substitutable number;

G represents a nitrogen atom, CH, C(OH), C(CO<sub>2</sub>H) or C(CN);

q represents an integer of 1 or 2 when G is a nitrogen atom, and q represents an integer of 0 to 2 when G is CH, C(OH), C(CO<sub>2</sub>H) or C(CN);

E represents a single bond, -C(=O)-, -O-, -CH(OH)-, -CH<sub>2</sub>CH(OH)-, -C(=O)O-, -C(=O)NR<sup>6</sup>- (wherein R<sup>6</sup> represents a hydrogen atom, substituted or unsubstituted lower alkyl or substituted or unsubstituted cycloalkyl) or



(wherein R<sup>6A</sup> has the same meaning as R<sup>6</sup> defined above), and E is bonded to G at the left side in each group;

X<sup>A</sup> represents substituted or unsubstituted lower alkyl or halogen, or two X<sup>A</sup>'s on the same carbon atom are combined together to form oxo, wherein respective

X<sup>A</sup>'s may be the same or different when s is 2 or more;

X<sup>a</sup> has the same meaning as X defined above, where respective X<sup>a</sup>'s may be the same or different when r is 1 or more; and

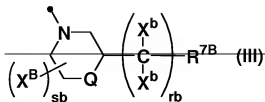
R<sup>10</sup> represents

-NR<sup>8A</sup>R<sup>9A</sup> (wherein

R<sup>8A</sup> and R<sup>9A</sup> ~~may be the same or different and each represents~~  
independently represent a hydrogen atom, substituted or unsubstituted  
 lower alkyl, substituted or unsubstituted cycloalkyl, substituted or  
 unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl,  
 substituted or unsubstituted aralkyl, substituted or unsubstituted aryl, a  
 substituted or unsubstituted heteroaromatic group, a substituted or  
 unsubstituted heteroalicyclic group, substituted or unsubstituted  
 heteroaromatic-substituted alkyl, substituted or unsubstituted  
 heteroalicyclic-substituted alkyl, imino-(lower alkyl) or substituted or  
 unsubstituted amidino),

a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or  
 unsubstituted lower alkoxy, substituted or unsubstituted cycloalkyl,  
 substituted or unsubstituted lower alkenyl, substituted or unsubstituted  
 lower alkynyl, substituted or unsubstituted aryl, substituted or unsubstituted  
 aralkyl, a substituted or unsubstituted heteroaromatic group, a substituted or  
 unsubstituted heteroalicyclic group, substituted or unsubstituted  
 heteroaromatic-substituted ~~alkyl~~ or alkyl, or substituted or unsubstituted  
 heteroalicyclic-substituted alkyl];

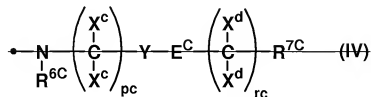
(iii) Formula (III):



{wherein  $s_b$ ,  $r_b$ ,  $X^B$ ,  $X^b$  and  $R^{7B}$  have the same meanings as  $s$ ,  $r$ ,  $X^A$ ,  $X^a$  and  $R^7$  defined above, respectively; and

$Q$  represents  $-O-$ ,  $-S-$ ,  $-CH_2-$  or  $-NR^{6B}-$  (wherein  $R^{6B}$  has the same meaning as  $R^6$  defined above)} or

(iv) Formula (IV):

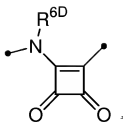


{wherein  $p_c$ ,  $r_c$ ,  $E^C$ ,  $X^c$ ,  $X^d$  and  $R^{6C}$  have the same meanings as  $p$ ,  $r$ ,  $E$ ,  $X$ ,  $X^a$  and  $R^6$  defined above, respectively;

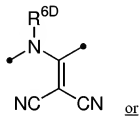
$R^{7C}$  represents  $-NR^8R^9-$  (wherein  $R^8$  and  $R^9$  have the same meaning as defined above, respectively), a substituted or unsubstituted heteroaromatic group or a substituted or unsubstituted heteroalicyclic group; and

$Y$  represents a single bond,  $-O-$  or  $-NR^{6D}-$  (wherein  $R^{6D}$  has the same meaning as  $R^6$  defined above)};

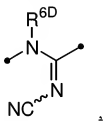
$A$  represents a single bond,  $-C(=O)-$ ,  $-SO_2-$ ,  $-NR^{6D}C(=O)-$  (wherein  $R^{6D}$  represents a hydrogen atom, substituted or unsubstituted lower alkyl or substituted or unsubstituted cycloalkyl, or is combined together with  $R^3$  and the adjacent nitrogen atom to form a substituted or unsubstituted heterocyclic group),  $-NR^{6D}C(=S)-$  (wherein  $R^{6D}$  has the same meaning as defined above),  $-OC(=O)-$ ,  $-OC(=S)-$ ,  $-SC(=O)-$ ,  $-SC(=S)-$ ,



(wherein  $R^{6D}$  has the same meaning as defined above);

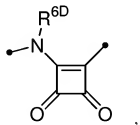


(wherein  $R^{6D}$  has the same meaning as defined above) or

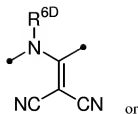


(wherein  $R^{6D}$  has the same meaning as defined above); and A is bonded to  $R^3$  at the left side in the each group; and with the proviso that

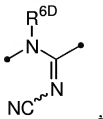
(a) when A is a single bond,



(wherein  $R^{6D}$  has the same meaning as defined above);



(wherein  $R^{6D}$  has the same meaning as defined above) or



(wherein  $R^{6D}$  has the same meaning as defined above);

then  $R^3$  represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted aralkyl, substituted or unsubstituted aryl, a substituted or unsubstituted heteroaromatic group, a substituted or unsubstituted heteroalicyclic group, substituted or unsubstituted heteroaromatic-substituted alkyl or substituted or unsubstituted heteroalicyclic-substituted alkyl, and

(b) when A is  $-C(=O)-$ ,  $-SO_2-$ ,  $-NR^{6D}C(=O)-$  (wherein  $R^{6D}$  has the same meaning as defined above),  $-NR^{6D}C(=S)-$  (wherein  $R^{6D}$  has the same meaning as defined above),  $-OC(=O)-$ ,  $-OC(=S)-$ ,  $-SC(=O)-$  or  $-SC(=S)-$ ,

then  $R^3$  represents substituted or unsubstituted lower alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted aralkyl, substituted or unsubstituted aryl, a substituted or unsubstituted heteroaromatic group, a substituted or unsubstituted heteroalicyclic group, substituted or unsubstituted heteroaromatic-substituted alkyl, substituted or unsubstituted

heteroalicyclic-substituted alkyl or  $-NR^{8B}R^{9B}$  (wherein  $R^{8B}$  and  $R^{9B}$  have the same meanings as  $R^8$  and  $R^9$  defined above, respectively)),

or a quaternary ammonium salt thereof, or a pharmaceutically acceptable salt thereof.

Claims 2-3 (Cancelled).

4. (Currently Amended) The bicyclic pyrimidine ~~derivative~~, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to ~~any of claims 1 to 3~~ claim 1, wherein  $R^4$  is a hydrogen atom; and  $R^5$  is substituted or unsubstituted aralkyl.

5. (Currently Amended) The bicyclic pyrimidine ~~derivative~~, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to ~~claims 1 to 3~~ claim 1, wherein  $R^4$  is a hydrogen atom; and  $R^5$  is substituted or unsubstituted cycloalkyl.

Claims 6-10 (Cancelled).

11. (Currently Amended) The bicyclic pyrimidine ~~derivative~~, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to ~~claim 10~~ any of claims 1, 4 or 5, wherein  $s$  is 0.



12. (Currently Amended) The bicyclic pyrimidine ~~derivative~~, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 11, wherein q is 1 or 2.

13. (Currently Amended) The bicyclic pyrimidine ~~derivative~~, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 12, wherein X<sup>3</sup> is a hydrogen atom.

14. (Currently Amended) The bicyclic pyrimidine ~~derivative~~, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 13, wherein R<sup>10</sup> is -NR<sup>8A</sup>R<sup>9A</sup> (~~wherein R<sup>8A</sup> and R<sup>9A</sup> have the same meanings as defined above, respectively~~), a substituted or unsubstituted heteroaromatic group or a substituted or unsubstituted heteroalicyclic group.

15. (Currently Amended) The bicyclic pyrimidine ~~derivative~~, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 14, wherein R<sup>3</sup> is substituted or unsubstituted lower alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted aralkyl, substituted or unsubstituted aryl or a substituted or unsubstituted heteroaromatic group.

Claims 16-27 (Cancelled).

28. (Currently Amended) A pharmaceutical composition which comprises, as an active ingredient, the bicyclic pyrimidine derivative, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to ~~any of claims 1 to 3~~ claim 11 and a pharmaceutically acceptable excipient.

Claims 29-43 (Cancelled).

44. (New) The bicyclic pyrimidine, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to any of claims 1, 4 or 5, wherein A is -C(=O)-, and R<sup>3</sup> is substituted or unsubstituted lower alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted aralkyl or substituted or unsubstituted aryl.

45. (New) The bicyclic pyrimidine, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 11, wherein A is -C(=O)-, and R<sup>3</sup> is substituted or unsubstituted lower alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted aralkyl or substituted or unsubstituted aryl.

46. (New) The bicyclic pyrimidine, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 12, wherein A is -C(=O)-, and R<sup>3</sup> is substituted or unsubstituted lower alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted aralkyl or substituted or unsubstituted aryl.

47. (New) The bicyclic pyrimidine, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 13, wherein A is -C(=O)-, and R<sup>3</sup> is substituted or unsubstituted lower alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted aralkyl or substituted or unsubstituted aryl.

48. (New) The bicyclic pyrimidine, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 14, wherein A is -C(=O)-, and R<sup>3</sup> is substituted or unsubstituted lower alkyl, substituted or unsubstituted cycloalkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted aralkyl or substituted or unsubstituted aryl.

49. (New) The bicyclic pyrimidine, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to any of claims 1, 4 or

5, wherein A is  $-C(=O)-$ , and  $R^3$  is  $-NR^{8B}R^{9B}$  (wherein  $R^{8B}$  and  $R^{9B}$  have the same meaning as  $R^8$  and  $R^9$ , respectively).

50. (New) The bicyclic pyrimidine, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 11, wherein A is  $-C(=O)-$ , and  $R^3$  is  $-NR^{8B}R^{9B}$  (wherein  $R^{8B}$  and  $R^{9B}$  have the same meaning as  $R^8$  and  $R^9$ , respectively).

51. (New) The bicyclic pyrimidine, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 12, wherein A is  $-C(=O)-$ , and  $R^3$  is  $-NR^{8B}R^{9B}$  (wherein  $R^{8B}$  and  $R^{9B}$  have the same meaning as  $R^8$  and  $R^9$ , respectively).

52. (New) The bicyclic pyrimidine, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 13, wherein A is  $-C(=O)-$ , and  $R^3$  is  $-NR^{8B}R^{9B}$  (wherein  $R^{8B}$  and  $R^{9B}$  have the same meaning as  $R^8$  and  $R^9$ , respectively).

53. (New) The bicyclic pyrimidine, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 14, wherein A is

-C(=O)-, and R<sup>3</sup> is -NR<sup>8B</sup>R<sup>9B</sup> (wherein R<sup>8B</sup> and R<sup>9B</sup> have the same meaning as R<sup>8</sup> and R<sup>9</sup>, respectively).

54. (New) The bicyclic pyrimidine, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to any of claims 1, 4 or 5, wherein A is -SO<sub>2</sub>, and R<sup>3</sup> is substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl or substituted or unsubstituted aryl.

55. (New) The bicyclic pyrimidine, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 11, wherein A is -SO<sub>2</sub>, and R<sup>3</sup> is substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl or substituted or unsubstituted aryl.

56. (New) The bicyclic pyrimidine, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 12, wherein A is -SO<sub>2</sub>, and R<sup>3</sup> is substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl or substituted or unsubstituted aryl.

57. (New) The bicyclic pyrimidine, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 13, wherein A is

-SO<sub>2</sub>, and R<sup>3</sup> is substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl or substituted or unsubstituted aryl.

58. (New) The bicyclic pyrimidine, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 14, wherein A is -SO<sub>2</sub>, and R<sup>3</sup> is substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl or substituted or unsubstituted aryl.

59. (New) A pharmaceutical composition which comprises, as an active ingredient, the bicyclic pyrimidine derivative, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 44 and a pharmaceutical acceptable excipient.

60. (New) A pharmaceutical composition which comprises, as an active ingredient, the bicyclic pyrimidine derivative, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 45 and a pharmaceutical acceptable excipient.

61. (New) A pharmaceutical composition which comprises, as an active ingredient, the bicyclic pyrimidine derivative, or the quaternary ammonium salt thereof, or

the pharmaceutically acceptable salt thereof according to claim 46 and a pharmaceutical acceptable excipient.

62. (New) A pharmaceutical composition which comprises, as an active ingredient, the bicyclic pyrimidine derivative, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 47 and a pharmaceutical acceptable excipient.

63. (New) A pharmaceutical composition which comprises, as an active ingredient, the bicyclic pyrimidine derivative, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 48 and a pharmaceutical acceptable excipient.

64. (New) A pharmaceutical composition which comprises, as an active ingredient, the bicyclic pyrimidine derivative, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 49 and a pharmaceutical acceptable excipient.

65. (New) A pharmaceutical composition which comprises, as an active ingredient, the bicyclic pyrimidine derivative, or the quaternary ammonium salt thereof, or

the pharmaceutically acceptable salt thereof according to claim 50 and a pharmaceutical acceptable excipient.

66. (New) A pharmaceutical composition which comprises, as an active ingredient, the bicyclic pyrimidine derivative, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 51 and a pharmaceutical acceptable excipient.

67. (New) A pharmaceutical composition which comprises, as an active ingredient, the bicyclic pyrimidine derivative, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 52 and a pharmaceutical acceptable excipient.

68. (New) A pharmaceutical composition which comprises, as an active ingredient, the bicyclic pyrimidine derivative, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 53 and a pharmaceutical acceptable excipient.

69. (New) A pharmaceutical composition which comprises, as an active ingredient, the bicyclic pyrimidine derivative, or the quaternary ammonium salt thereof, or



the pharmaceutically acceptable salt thereof according to claim 54 and a pharmaceutical acceptable excipient.

70. (New) A pharmaceutical composition which comprises, as an active ingredient, the bicyclic pyrimidine derivative, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 55 and a pharmaceutical acceptable excipient.

71. (New) A pharmaceutical composition which comprises, as an active ingredient, the bicyclic pyrimidine derivative, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 56 and a pharmaceutical acceptable excipient.

72. (New) A pharmaceutical composition which comprises, as an active ingredient, the bicyclic pyrimidine derivative, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 57 and a pharmaceutical acceptable excipient.

73. (New) A pharmaceutical composition which comprises, as an active ingredient, the bicyclic pyrimidine derivative, or the quaternary ammonium salt thereof, or the pharmaceutically acceptable salt thereof according to claim 58 and a pharmaceutical acceptable excipient.